


INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)

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| Applicant's or agent's file reference PPD 70127/WO | | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416) | |
| International application No. PCT/GB 03/04832 | International filing date (day/month/year) 10.11.2003 | Priority date (day/month/year) 26.11.2002 | |
| International Patent Classification (IPC) or both national classification and IPC C07C235/20 | | | |
| Applicant SYNGENTA LIMITED et al. | | | |
| <p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p><input checked="" type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of 7 sheets.</p> | | | |
| <p>3. This report contains indications relating to the following items:</p> <p>I <input checked="" type="checkbox"/> Basis of the opinion</p> <p>II <input type="checkbox"/> Priority</p> <p>III <input type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p>IV <input checked="" type="checkbox"/> Lack of unity of invention</p> <p>V <input checked="" type="checkbox"/> Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p>VI <input type="checkbox"/> Certain documents cited</p> <p>VII <input type="checkbox"/> Certain defects in the international application</p> <p>VIII <input type="checkbox"/> Certain observations on the international application</p> | | | |
| Date of submission of the demand 25.05.2004 | | Date of completion of this report 07.04.2005 | |
| Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 pmu d Fax: +49 89 2399 - 4465 | | Authorized Officer Österle, C Telephon No. +49 89 2399-8120 | |



**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. **PCT/GB 03/04832**

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17):*

Description, Pages

1-51 as originally filed

Claims, Numbers

1-11 filed with telefax on 07.12.2004

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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IV. Lack of unity of invention

1. In response to the invitation to restrict or pay additional fees, the applicant has:

- ☐ restricted the claims.
- ☐ paid additional fees.
- ☐ paid additional fees under protest.
- ☐ neither restricted nor paid additional fees.

2. ☒ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.

3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is

- ☐ complied with.
- ☐ not complied with for the following reasons:

4. Consequently, the following parts of the international application were the subject of international preliminary examination in establishing this report:

- ☒ all parts.
- ☐ the parts relating to claims Nos. .

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

| | | |
|-------------------------------|-------------|----------|
| Novelty (N) | Yes: Claims | 2-4,9-11 |
| | No: Claims | 1,5-8 |
| Inventive step (IS) | Yes: Claims | |
| | No: Claims | 1-11 |
| Industrial applicability (IA) | Yes: Claims | 1-11 |
| | No: Claims | |

2. Citations and explanations

see separate sheet

R Item IV

Lack of unity of invention

D1: EP-A-0001721

D2: US-A-4146387

D3: US-A-3272844

D4: DE-A-2731960

D5: US-A-4116677

1. Article 13.1 PCT:

The technical feature common to the compounds of present claim 1 is the following skeleton: Ph-O-CH-CO-N-C-CC.

This basic skeleton is already known from D1 (see the grounds for this objection below). D1 furthermore discloses that compounds having the same skeleton have the same fungicidal activity.

The requisite unity of invention (Rule 13.1 PCT) therefore no longer exists inasmuch as a technical relationship involving one or more of the same or corresponding special technical features in the sense of Rule 13.2 PCT does not exist between the subject-matter of the individual compounds of claims 1-9, as well as of the subject-matter of claims 10-12.

Unity between the individual compounds can only then be restored if the claims are limited such that all compounds have a common technical feature which fulfils the requirements of Articles 33(2) and (3) PCT in view of D1.

The fact that compounds of claim (1) for which R_5 is defined as methoxymethyl have improved fungicidal activity as compared to the compounds of D1 cannot establish unity between the compounds of claim 1 since compounds for which for example $R_5 = -S(O)_n(C_1-C_6)alkyl$ have only the above mentioned skeleton in common, which is known from D1. The improved activity is based on a structural difference between the compounds of D1 and the compound of the test provided (see below). This structural difference is however not present in all compounds of formula (1). The objection regarding the lack of unity therefore is maintained.

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R. Item V

**Reasoned statement with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

- D1: EP-A-0 001 721 (STAUFFER CHEMICAL CO) 2 May 1979 (1979-05-02)
D2: US-A-4 146 387 (THIELE GERALD H) 27 March 1979 (1979-03-27)
D3: US-A-3 272 844 (EASTON NELSON R ET AL) 13 September 1966 (1966-09-13)

1. Article 33(2) PCT:

- 1.1 A disclaimer has been introduced in the subject-matter of claim 1. The disclaimer excludes the compound 3,6,8-trimethyl-6-phenoxyacetamido-4-nonyne from the subject-matter of claim 1. The disclaimer is however in violation of Article 34 (2(b)) PCT since this compound is not considered novelty destroying and was not disclosed in the application as originally filed (this compound in fact would be defined in formula (1) with X=Y=Z=H, which already is excluded from claim 1).

In fact, the compound which was cited in the search report, 2-methyl-2-(3,4-bis(trifluoromethyl)phenoxyacetamido)-3-hexyne is still considered to anticipate the subject-matter of claims 1,5,6,7 and 8.

- 1.2 Claims 2-4 and 9-11 are considered novel.

2. Article 33(3) PCT:

- 2.1 The technical problem in view of D1, considered the closest prior art, can be seen in providing further compounds with fungicidal activity.

The solution suggested by the Applicant are the compounds of present claim 1.

The Applicant provided data showing that a compound corresponding to compound 8 of claim 1 but for which R is a methoxymethyl group instead of a methyl group has improved fungicidal activity against *Phytophthora infestans*.

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The tests provided by the Applicant are considered to establish an inventive activity of the subject-matter of claim 1 over D1.

- 2.2 Considering the data provided by the Applicant it is apparent that a small structural change results in a large change in fungicidal activity of the compound. This could not have been predicted from D1. However, the structural difference between the compounds falling within the scope of formula (1) is much larger than the difference between the compounds of the test provided by the Applicant.
- It seems doubtful that changing the nature of R₅ from methoxymethyl to for example a triazolyl group would lead to a compound having the desired technical effect. A generalization of experimental results for compounds with very different substituents R₅ does not appear to be justified.

The subject-matter of claims 1-11 therefore cannot be considered inventive.